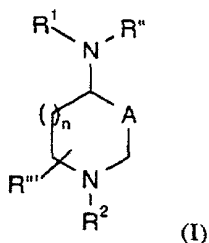


What is claimed is:

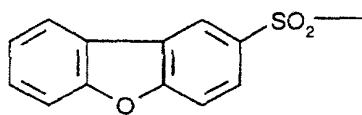
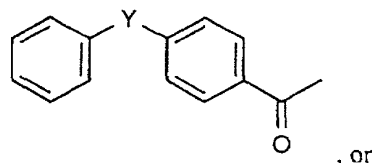
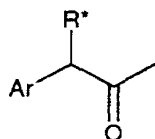
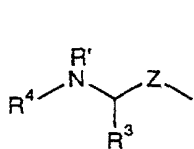
1. A compound according to formula (I):



wherein:

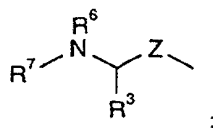
A is C(O) or CH(OH);

R¹ is



R² is H, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl-C<sub>0-6</sub>alkyl, Ar-C<sub>0-6</sub>alkyl, Het-C<sub>0-6</sub>alkyl,

R<sup>5</sup>C(O)-, R<sup>5</sup>C(S)-, R<sup>5</sup>SO<sub>2</sub>-, R<sup>5</sup>OC(O)-, R<sup>5</sup>R'NC(O)-, R<sup>5</sup>R'NC(S)-, adamantyl-C(O)-, or



R'' is H, C<sub>1-6</sub>alkyl, Ar-C<sub>0-6</sub>alkyl, or Het-C<sub>0-6</sub>alkyl;

R''' is H, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl-C<sub>0-6</sub>alkyl, Ar-C<sub>0-6</sub>alkyl, or Het-C<sub>0-6</sub>alkyl;

each R³ independently is H, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, Het, Ar or C<sub>1-6</sub>alkyl

optionally substituted by OR', SR', NR'<sub>2</sub>, R'NC(O)OR<sup>5</sup>, CO<sub>2</sub>R', CO<sub>2</sub>NR'<sub>2</sub>, N(C=NH)NH<sub>2</sub>,  
Het or Ar;

$R^4$  is H,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl- $C_{0-6}$ alkyl, Ar- $C_{0-6}$ alkyl, Het- $C_{0-6}$ alkyl,  $R^5C(O)-$ ,  $R^5C(S)-$ ,  $R^5SO_2-$ ,  $R^5OC(O)-$ ,  $R^5R'NC(O)-$ ,  $R^5R'NC(S)-$ ,  $R'HNCH(R')C(O)-$ , or  $R^5OC(O)NR'CH(R')C(O)-$ ;

each  $R^5$  independently is  $C_{3-6}$ cycloalkyl- $C_{0-6}$ alkyl, Ar- $C_{0-6}$ alkyl, Het- $C_{0-6}$ alkyl,

- 5 Ar- $C_{0-6}$ alkoxy, Het- $C_{0-6}$ alkoxy, or  $C_{1-6}$ alkyl optionally substituted by  $OR'$ ,  $SR'$ ,  $NR'_2$ ,  $R'NC(O)OR^5$ ,  $CO_2R'$ ,  $CO_2NR'_2$ ,  $N(C=NH)NH_2$ , Het or Ar;

$R^6$  is H,  $C_{1-6}$ alkyl, Ar- $C_{0-6}$ alkyl, or Het- $C_{0-6}$ alkyl and  $R^7$  is H,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl- $C_{0-6}$ alkyl, Ar- $C_{0-6}$ alkyl, Het- $C_{0-6}$ alkyl,  $R^5C(O)-$ ,  $R^5C(S)-$ ,  $R^5SO_2-$ ,  $R^5OC(O)-$ ,  $R^5R'NC(O)-$ ,  $R^5R'NC(S)-$ ,  $R'HNCH(R')C(O)-$ , or  $R^5OC(O)NR'CH(R')C(O)-$ ;

- 10 or  $R^6$  and  $R^7$  are connected to form a pyrrolidine, a piperidine, or a morpholine ring;

each  $R'$  independently is H,  $C_{1-6}$ alkyl, Ar- $C_{0-6}$ alkyl, or Het- $C_{0-6}$ alkyl;

$R^*$  is H,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl- $C_{0-6}$ alkyl, Ar- $C_{0-6}$ alkyl, or Het- $C_{0-6}$ alkyl;

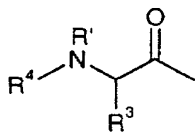
Y is a single bond or O;

each Z independently is CO or  $CH_2$ ; and

- 15 n is 0, 1, or 2;

or a pharmaceutically acceptable salt thereof.

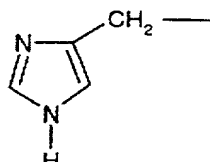
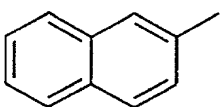
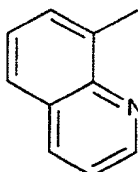
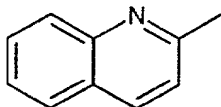
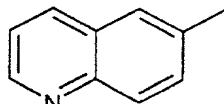
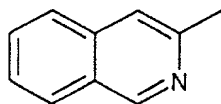
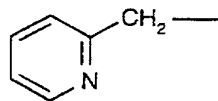
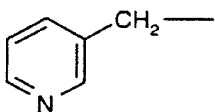
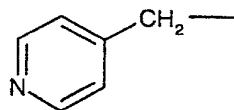
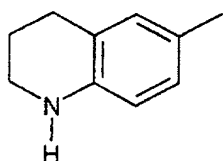
2. A compound according to claim 1 wherein  $R^1$  is



3. A compound according to claim 2 wherein  $R^4$  is  $R^5C(O)-$ ,  $R^5SO_2-$ ,  $R^5OC(O)-$ .

- 25 4. A compound according to claim 3 wherein  $R^5$  in said  $R^1$  group is Ar- $C_{0-6}$ alkyl or Het- $C_{0-6}$ alkyl.

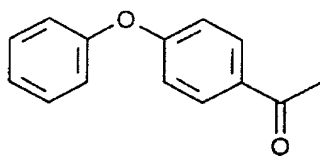
5. A compound according to claim 4 wherein  $R^5$  in said  $R^1$  group is phenyl or benzyl which are unsubstituted or substituted by one or two of the group consisting of Cl, Br, F,  $CF_3$ ,  $C_{1-4}$ alkyl, OH,  $C_{1-4}$ alkoxy, CN,  $CONH_2$ ,  $NH_2$ , or  $NO_2$ , or substituted by methylenedioxy; or
- 30



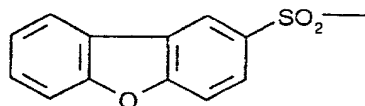
, or

6. A compound according to claim 2 wherein, in said  $R^1$  group,  $R'$  is H or  $CH_3$  and  $R^3$  is i-butyl.

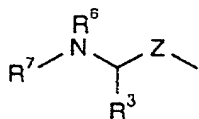
7. A compound according to claim 1 wherein  $R^1$  is



or



8. A compound according to claim 1 wherein  $R^2$  is

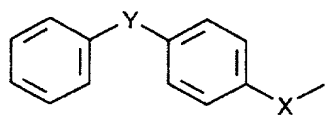


9. A compound according to claim 8 wherein  $R^7$  is  $R^5OC(O)-$ .

10. A compound according to claim 9 wherein  $R^5$  in said  $R^7$  group is  $Ar-C_{0-6}alkyl$  or  $Het-C_{0-6}alkyl$ .

11. A compound according to claim 10 wherein  $R^5$  in said  $R^7$  group is phenyl or benzyl which are unsubstituted or substituted by one or two of the group consisting of Cl, Br, F,  $CF_3$ ,  $C_{1-4}alkyl$ , OH,  $C_{1-4}alkoxy$ , CN,  $CONH_2$ ,  $NH_2$ , or  $NO_2$ , or substituted by methylenedioxy; or 2-, 3-, or 4-pyridyl- $CH_2-$ .

12. A compound according to claim 1 wherein  $R^2$  is



in which  $X$  is CO,  $SO_2$ , or  $CH_2-CO$  and  $Y$  is a single bond or O.

13. A compound according to claim 8 wherein, in said  $R^2$  group,  $R^6$  is H or  $CH_3$  and  $R^3$  is i-butyl.

14. A compound according to claim 1 wherein  $R^2$  is  $Ar-C_{0-6}alkyl$ ,  $Het-C_{0-6}alkyl$ ,  $C_{3-6}cycloalkyl-C_{0-6}alkyl$ ,  $Ar-C_{0-6}alkyl$ ,  $Het-C_{0-6}alkyl$ ,  $R^5C(O)-$ ,  $R^5C(S)-$ ,  $R^5SO_2-$ ,  $R^5OC(O)-$ ,  $R^5R'NC(O)-$ ,  $R^5R'NC(S)-$ ,  $R^5HNCH(R')C(O)-$ ,  $R^5OC(O)NR'CH(R')C(O)-$ , adamantyl- $C(O)-$ .

15. A compound according to claim 1 wherein  $A$  is  $C(O)$ .

16. A compound according to claim 1 which is:

(3RS,4RS)-4-[[ $N^a$ -(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol];  
 4-[[ $N^a$ -(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone];

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[4-(phenoxybenzamide)]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinol;

5 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;

10 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;

15 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

20 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[(ethanoyl)aminomethyl]pentanoyl]-3-pyrrolidinone;

25 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;

30 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;

35 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-3-tert-butoxy-[[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinol;

- (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-2-  
[[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-2-  
[[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-  
[cyclohexanepropanoyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[cyclohexanepropanoyl]-3-  
pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
10 [[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(4-  
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;  
15 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(2-  
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(3-  
20 pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-(2-pyridylcarbonyl)-  
3-pyrrolidinol;  
4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-(2-pyridylcarbonyl)-3-  
pyrrolidinone;
- 25 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[4-  
30 (biphenyl)ethanoyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[4-(biphenyl)ethanoyl]-3-  
piperidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinol;  
35 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[[[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;

5 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[[[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinol;

10 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-(amino)ethanoyl]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-piperidinol;

15 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(benzoyl)-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(benzoyl)-3-piperidinone;

20 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(acetyl)-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(acetyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(2-pyridoxyacetyl)-3-piperidinol;

25 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(2-pyridoxyacetyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-

30 [(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

35 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinol;

- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-  
[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-  
(phenoxy)ethanoyl]-3-pyrrolidinol;
- 5 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[2-(phenoxy)ethanoyl]-3-  
pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-  
[(2-phenyl)ethanoyl]-3-pyrrolidinol;
- 10 4-[[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2-phenyl)ethanoyl]-  
3-pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-ethanoyl-3-  
pyrrolidinol;
- 15 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-ethanoyl-3-  
pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-  
(4-cyanobenzoyl)-3-pyrrolidinol;
- 20 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(4-cyanobenzoyl)-3-  
pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-  
tert-butoxycarbonyl-3-pyrrolidinol;
- 25 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-  
pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(3-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-  
tert-butoxycarbonyl-3-pyrrolidinol;
- 30 4-[[N<sup>α</sup>-(3-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-  
pyrrolidinone;  
4-[[N<sup>α</sup>-(3-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-  
methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 35 4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;  
(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-  
methyl-2-[[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(4-  
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;



(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol];

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone];

5 (3RS,4RS)-4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol];

4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone];

10 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[[1-(adamantyl)carbonyl]-3-pyrrolidinol];

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[[1-(adamantyl)carbonyl]-3-pyrrolidinone];

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinol;

15 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-D-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol];

20 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-D-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone];

(3RS,4RS)-4-[[N<sup>α</sup>-(tert-butoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol];

4-[[N<sup>α</sup>-(tert-butoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone];

25 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-N<sup>ε</sup>-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol];

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-N<sup>ε</sup>-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone];

30 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-tert-butoxycarbonyl-3-piperidinol;

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(4-methylpentanoyl)-3-piperidinol;

35 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;

5 (3RS,4RS)-4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;

4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

10 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(methanesulphonyl)-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(methanesulphonyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(phenylsulphonyl)-3-piperidinol;

15 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(phenylsulphonyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinol;

20 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;

25 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinone;

30 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinol;

35 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-

[(4-phenoxy)phenylsulphonyl]-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-

[(4-phenoxy)phenylsulphonyl]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-

5 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-

[[ (benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-

[[ (benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

10 4-[[N<sup>α</sup>-(6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-

[[ (benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[ (benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;

4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

15 [[ (benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[ (benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinol;

4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[ (benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;

20 (3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-pyridylcarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinol;

25 4-[[N<sup>α</sup>-(2-pyridylcarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(3-chlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(3-chlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinol;

30 4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinol;

35 4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(8-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(8-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone

(3RS,4RS)-4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(acetyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(acetyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(p-trifluoromethylbenzenesulphonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(p-trifluoromethylbenzenesulphonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(6-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinol;

4-[[N<sup>α</sup>-(6-quinolinecarbonyl)-L-leucyl]amino]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinol;

4-[[2-(RS)-[(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(a-toluenesulphonyl)-L-leucyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;

4-[[N<sup>α</sup>-(a-toluenesulphonyl)-L-leucyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leucyl]amino]-1-

[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leucyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

- (3RS,4RS)-4-[[N<sup>α</sup>-(benzenesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;  
 4-[[N<sup>α</sup>-(benzenesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;  
 4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 10 (3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;  
 4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;  
 (3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 15 4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinone;  
 (3RS,4RS)-4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
 4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 20 4-[[N<sup>α</sup>-(tert-butoxyoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;  
 4-[(L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 25 (3RS,4RS)-4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
 4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 30 (3RS,4RS)-4-[[N<sup>α</sup>-(piperonylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
 4-[[N<sup>α</sup>-(piperonylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 35 4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- (3RS,4RS)-4-[[N<sup>α</sup>-(2-pyridylcarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(2-pyridylcarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N<sup>α</sup>-(2-nitro-a-toluenesulphonyl)-L-leucyl]amino]-1-[(2S)-4-  
methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(2-nitro-a-toluenesulphonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 10 (3RS,4RS)-4-[[N<sup>α</sup>-(8-quinolinesulphonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(8-quinolinesulphonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leucyl]aminomethyl]-1-  
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
15 4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leucyl]aminomethyl]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(2-quinoliny carbonyl)-L-leucyl]aminomethyl]-1-  
[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
4-[[N<sup>α</sup>-(2-quinoliny carbonyl)-L-leucyl]aminomethyl]-1-[(2S)-4-methyl-2-  
20 [[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(phenylacetyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(phenylacetyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 25 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-  
methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2R)-4-  
30 methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(phenylacetyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;  
35 4-[[N<sup>α</sup>-(phenylacetyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

- (3RS,4RS)-4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 10 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;  
4-[[N<sup>α</sup>-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(tert-butoxycarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- 15 (3RS,4RS)-4-[[N<sup>α</sup>-(8-quinolinesulphonyl)-L-leuciny]amino]-1-  
(benzyloxycarbonyl)-3-piperidinol;  
4-[[N<sup>α</sup>-(8-quinolinesulphonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
20 piperidinol;  
4-[[N<sup>α</sup>-(4-pyridinylacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-  
3-piperidinol;
- 25 4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-  
(benzyloxycarbonyl)-3-piperidinol;
- 4-[[N<sup>α</sup>-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
30 piperidinone;
- (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(3-isoquinolinylcarbonyl)-L-leuciny]amino]-3-  
pyrrolidinol;  
1-benzyl-4-[[N<sup>α</sup>-(3-isoquinolinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-3-  
35 pyrrolidinol;  
1-benzyl-4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;

- (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny]carbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny]carbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(piperony]carbonyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(piperony]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(6-hydroxy-2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(6-hydroxy-2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(6-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(6-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-3-pyrrolidinone;  
 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(4-pyridiny]carbonyl)-L-leuciny]amino]-3-pyrrolidinol;  
 1-benzyl-4-[[N<sup>α</sup>-(4-pyridiny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 4-[[N<sup>α</sup>-(tert-butoxycarbonyl)-L-leuciny]amino]-1-benzylloxycarbonyl-3-pyrrolidinone;  
 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridiny]methoxy)carbonyl]-L-leuciny]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;  
 4-[[N<sup>α</sup>-(4-pyridiny]methoxy)carbonyl]-L-leuciny]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;  
 (3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridiny]methoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;



4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[tert-butoxycarbonyl]]amino]pentyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leucyl]amino]-1-  
[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;

5 (3RS,4RS)-4-[[N<sup>α</sup>-(2-methylpropoxy)carbonyl]-L-leucyl]amino]-1-  
[(2S)-4-methyl-2-[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(2-methylpropoxy)carbonyl]-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(methylamino)thiocarbonyl]-L-leucyl]amino]-1-[(2S)-4-  
10 methyl-2-[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(methylamino)thiocarbonyl]-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(phenylmethylamino)carbonyl]-L-leucyl]amino]-1-  
[(2S)-4-methyl-2-[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinol;

15 4-[[N<sup>α</sup>-(phenylmethylamino)carbonyl]-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(3,4-dichlorophenylamino)carbonyl]-L-leucyl]amino]-1-  
[(2S)-4-methyl-2-[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(3,4-dichlorophenylamino)carbonyl]-L-leucyl]amino]-1-[(2S)-4-methyl-  
20 2-[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(3,4-dichlorophenylamino)-L-leucyl]amino]-3-  
pyrrolidinol;

1-benzyl-4-[[N<sup>α</sup>-(3,4-dichlorophenylamino)-L-leucyl]amino]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucyl]amino]-1-  
25 [(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucyl]amino]-1-[(2S)-4-  
methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucyl]amino]-1-  
[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinol;

30 4-[[[N<sup>α</sup>-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucyl]amino]-1-  
[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
[(acetyl)amino]pentyl]-3-pyrrolidinol;

4-[[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-  
35 [(acetyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[(p-  
toluenesulphonyl)amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;

5 4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;

10 4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-1-(2-phenethyl)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-phenethyl)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

15 (3RS,4RS)-1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-quinoliny)carbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-quinoliny)carbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

20 (3RS,4RS)-1-(2-phenethyl)-4-[[N<sup>α</sup>-(α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-phenethyl)-4-[[N<sup>α</sup>-(α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-nitro-α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;

25 1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-nitro-α-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridiny)carbonyl)]amino]pentyl]-3-pyrrolidinol;

30 4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridiny)carbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;

35 (3RS,4RS)-4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[(4-phenoxybenzoyl)amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinolcarbonyl)-L-

5 leucyl]amino]-3-pyrrolidinol;

1-(3-nitrobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-nitrobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinol;

10 1-(2-nitrobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(4-cyanobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinol;

15 1-(4-cyanobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(4-bromobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinol;

1-(4-bromobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

20 (3RS,4RS)-1-phenethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinol;

1-phenethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

25 1-(3-aminobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(3-benzyloxybenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinol;

1-(3-benzyloxybenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

30 (3RS,4RS)-1-(3-hydroxybenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinol

1-(3-hydroxybenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

35 (3RS,4RS)-1-ethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinol;

1-ethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-cyclopropylmethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-

leucinyl]amino]-3-pyrrolidinol;

1-cyclopropylmethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-N,N-dimethylaminoethyl)-4-[[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-N,N-dimethylaminoethyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-morpholinoethyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-morpholinoethyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-(2-bromobenzyl)-4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;

1-(2-bromobenzyl)-4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]aminomethyl]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]aminomethyl]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-nitro-*a*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinol;

5 1-benzyl-4-[[[N<sup>α</sup>-(2-nitro-*α*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(phenylsulphonyl)-L-leuciny]amino]-3-pyrrolidinol;

1-benzyl-4-[[N<sup>α</sup>-(phenylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(*a*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinol;

10 1-benzyl-4-[[N<sup>α</sup>-(*a*-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-naphthylsulphonyl)-L-leuciny]amino]-3-pyrrolidinol;

1-benzyl-4-[[N<sup>α</sup>-(2-naphthylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-3-

15 piperidinol;

1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-3-piperidinone

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny carbonyl)-L-leuciny]amino]-3-

piperidinol;

1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny carbonyl)-L-leuciny]amino]-3-piperidinone;

20 (3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(2-naphthylsulphonyl)amino]-3-piperidinol;

1-benzyl-4-[[N<sup>α</sup>-(2-naphthylsulphonyl)-L-leuciny]amino]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]aminomethyl]-1-

[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-

25 [[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;

4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-

30 [(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[2-[(*α*-toluenesulphonyl)amino]ethyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-

35 [2-[(*α*-toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(piperonylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinol;

4-[[N<sup>α</sup>-(piperonylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-

5 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-

[2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(*tert*-butoxycarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinol;

10 4-[[N<sup>α</sup>-(*tert*-butoxycarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;

15 4-[[N<sup>α</sup>-(4-carboxybenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;

1-benzyl-4-[[N<sup>α</sup>-(4-carboxybenzoyl)-L-leuciny]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N<sup>α</sup>-(4-carboxymethyl)benzoyl)-

20 L-leuciny]amino]-3-pyrrolidinol;

1-benzyl-4-[[N<sup>α</sup>-(4-carboxymethyl)benzoyl)-L-leuciny]amino]-3-pyrrolidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-carboxymethyl)benzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;

4-[[N<sup>α</sup>-(4-carboxymethyl)benzoyl)-L-leuciny]amino]-1-[(2S)-4-

25 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-1-phenethyl-4-[[N<sup>α</sup>-(2-amino- $\alpha$ -toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinol;

1-phenethyl-4-[[N<sup>α</sup>-(2-amino- $\alpha$ -toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;

30 (3RS,4RS)-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinol;

4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinol;

35 4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinol;

4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;

(3RS,4RS)-4-[[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-

[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentanoyl]-3-piperidinol;

5 4-[[[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-

[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentanoyl]-3-piperidinone;

(3RS,4RS)-4-[[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;

4-[[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-

10 piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(p-trifluoromethanephensulphonyl)-

L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;

4-[[N<sup>α</sup>-(p-trifluoromethanephensulphonyl)-

L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;

15 (3RS,4RS)-4-[[N<sup>α</sup>-(2-naphthylsulphonyl)-

L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;

4-[[N<sup>α</sup>-(2-naphthylsulphonyl)-

L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(3,4-dichlorophenylsulphonyl)-

20 L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol;

4-[[N<sup>α</sup>-(3,4-dichlorophenylsulphonyl)-

L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(methanesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-

piperidinol;

25 4-[[N<sup>α</sup>-(methanesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;

(3RS,4RS)-4-[[N<sup>α</sup>-(4-fluorophenylsulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinol; or

4-[[N<sup>α</sup>-(4-fluorophenylsulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-piperidinone;

30 or a pharmaceutically acceptable salt thereof.

17. A compound according to claim 1 which is:

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-

35 [[(benzyloxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[4-(phenoxybenzamide)]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;

5 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;

10 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;

15 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[(ethanoyl)aminomethyl]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2R)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

20 4-[[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-2-[[[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinone;

25 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[cyclohexanepropanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

30 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-(2-pyridylcarbonyl)-3-pyrrolidinone;

35 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucyl]amino]-1-[4-(biphenyl)ethanoyl]-3-piperidinone;



- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-  
[[[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-  
piperidinone;
- 5 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[[[(benzyloxycarbonyl)]iso-  
butylamino]ethanoyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-  
butoxycarbonyl)amino]ethanoyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-  
10 piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-  
piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;
- 15 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-  
piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-  
[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-  
20 piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-  
[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-  
pyrrolidinone;
- 25 4-[[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-  
3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-  
pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-  
30 pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-  
pyrrolidinone;
- 4-[[N<sup>α</sup>-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-  
pyrrolidinone;
- 35 4-[[N<sup>α</sup>-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

- 4-[[N<sup>α</sup>-idinylmethoxycarbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[benzyloxycarbonyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[4-  
pyridinylmethoxycarbonyl]amino]pentanoyl]-3-pyrrolidinone;
- 5 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[benzyloxycarbonyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[1-  
10 (adamantyl)carbonyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methyl-pentanoyl)-3-  
pyrrolidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-D-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone;
- 15 4-[[N<sup>α</sup>-(tert-butoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-N<sup>ε</sup>-(tert-butoxycarbonyl)-L-lysine]amino]-1-  
[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-tert-butoxycarbonyl-3-  
20 piperidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(4-methylpentanoyl)-3-  
piperidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[2-  
(benzyloxycarbonyl)iso-butylamino]ethanoyl]-3-piperidinone;
- 25 4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-  
[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(methanesulphonyl)-3-  
piperidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(phenylsulphonyl)-3-  
30 piperidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(8-quinolinesulphonyl)-  
3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(2-pyridylsulphonyl)-3-  
pyrrolidinone;
- 35 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-  
[(2-propoxy)carbonyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(3-methyl-1-

propoxy)carbonyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-

5 [(4-phenoxy)phenylsulphonyl]-3-piperidinone;

4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

10 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-

[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

15 4-[[N<sup>α</sup>-(2-pyridylcarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N<sup>α</sup>-(3-chlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-

20 piperidinone;

4-[[N<sup>α</sup>-(8-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone

4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-

25 piperidinone;

4-[[N<sup>α</sup>-(acetyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N<sup>α</sup>-(p-trifluoromethylbenzenesulphonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N<sup>α</sup>-(6-quinolinecarbonyl)-L-leuciny]amino]-1-(4-methylpentyl)-3-

30 piperidinone;

4-[[2-(RS)-[(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinone;

4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-

[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;

35 4-[[N<sup>α</sup>-(a-toluenesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

4-[[N<sup>α</sup>-(benzensulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

5 4-[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentanoyl]]-3-piperidinone;

10 4-[3-[(2-pyridyl)phenylacetyl)]amino]-1-[(2S)-4-methyl-2-[[2-(pyridinylmethoxycarbonyl)]amino]pentanoyl]]-3-piperidinone;

4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(tert-butoxyoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

15 4-[(L-leuciny]amino)-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

20 4-[[N<sup>α</sup>-(piperonylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(2-pyridylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

25 4-[[N<sup>α</sup>-(2-nitro-a-toluenesulphonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(8-quinolinesulphonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

30 4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(2-quinolinylcarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-pyrrolidinone;

4-[[N<sup>α</sup>-(phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-piperidinone;

35 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]]-3-piperidinone;

- 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-  
[[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(phenylacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-  
[[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
- 5 4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2R)-4-methyl-2-  
[[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
10 [[[benzyloxycarbonyl]amino]pentyl]-3-piperidinone;
- 4-[[N<sup>α</sup>-(tert-butoxycarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- 4-[[N<sup>α</sup>-(8-quinolinesulphonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- 15 4-[[N<sup>α</sup>-(4-pyridinylacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- 4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
piperidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylcarbonyl)-L-leuciny]amino]-1-(benzyloxycarbonyl)-3-  
20 piperidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(3-isoquinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(3,4-dichlorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny]carbonyl)-L-leuciny]aminomethyl]-3-pyrrolidinone;
- 25 1-benzyl-4-[[N<sup>α</sup>-(2-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(piperonylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(6-hydroxy-2-naphthylcarbonyl)-L-leuciny]amino]-3-  
pyrrolidinone;
- 30 1-benzyl-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(6-quinoliny]carbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(4-imidazoleacetyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(4-pyridinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(tert-butoxycarbonyl)-L-leuciny]amino]-1-benzyloxycarbonyl-3-  
35 pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2R)-4-methyl-2-  
[[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinone;

- 4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[tert-butoxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-  
[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;
- 5 4-[[N<sup>α</sup>-(2-methylpropoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(methylamino)thiocarbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(phenylmethylamino)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
10 [[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(3,4-dichlorophenylamino)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-  
2-[[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 1-benzyl-4-[[N<sup>α</sup>-(3,4-dichlorophenylamino)-L-leuciny]amino]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-[(2S)-4-  
15 methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leuciny]amino]-1-  
[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 20 4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(p-  
toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-  
[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(a-  
25 toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-quinolinylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N<sup>α</sup>-(α-toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 30 1-(2-phenethyl)-4-[[N<sup>α</sup>-(2-nitro-α-toluenesulphonyl)-L-leuciny]amino]-3-  
pyrrolidinone;
- 4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[4-  
pyridinylcarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[(p-  
35 toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(2-phenylacetyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[4-  
imidazoleacetyl]]amino]pentyl]-3-pyrrolidinone;

- 1-(3-nitrobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-nitrobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 5 1-(4-cyanobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(4-bromobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-phenethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 10 1-(3-aminobenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(3-benzyloxybenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 15 1-(3-hydroxybenzyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-ethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-cyclopropylmethyl-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 20 1-(2-N,N-dimethylaminoethyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-morpholinoethyl)-4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 1-(2-bromobenzyl)-4-[[N<sup>α</sup>-(2-pyridinylmethoxycarbonyl)-L-leuciny]amino]-3-pyrrolidinone;
- 25 4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(4-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinone;
- 30 4-[[N<sup>α</sup>-(2-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(3-pyridinylmethoxy)carbonyl]-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]amino]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 4-[[N<sup>α</sup>-(4-pyridinylmethoxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- 1-benzyl-4-[[[N<sup>α</sup>-(2-nitro- $\alpha$ -toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 1-benzyl-4-[[[N<sup>α</sup>-(phenylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 1-benzyl-4-[[[N<sup>α</sup>-( $\alpha$ -toluenesulphonyl)-L-leuciny]amino]-3-pyrrolidinone;  
 1-benzyl-4-[[[N<sup>α</sup>-(2-naphthylsulphonyl)-L-leuciny]amino]-3-pyrrolidinone  
 5 1-benzyl-4-[[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-3-piperidinone  
 1-benzyl-4-[[[N<sup>α</sup>-(2-quinoliny]carbonyl)-L-leuciny]amino]-3-piperidinone;  
 1-benzyl-4-[[[N<sup>α</sup>-(2-naphthylsulphonyl)-L-leuciny]amino]-3-piperidinone;  
 4-[[[N<sup>α</sup>-(benzyloxycarbonyl)-L-leuciny]aminomethyl]-1-[(2S)-4-methyl-2-  
 [[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;  
 10 4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-  
 [(2S)-4-methyl-2-[[[N<sup>α</sup>-(benzyloxycarbonyl)]aminomethyl]pentanoyl]-  
 3-pyrrolidinone;  
 4-[[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-  
 [2-[( $\alpha$ -toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;  
 15 4-[[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;  
 4-[[[N<sup>α</sup>-(piperonylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;  
 4-[[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-  
 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;  
 4-[[[N<sup>α</sup>-(*tert*-butoxycarbonyl)-L-leuciny]amino]-1-benzoyl-3-pyrrolidinone;  
 20 4-[[[N<sup>α</sup>-(4-fluorobenzoyl)-L-leuciny]amino]-1-[(2S)-4-  
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;  
 4-[[[N<sup>α</sup>-(4-carboxybenzoyl)-L-leuciny]amino]-1-[(2S)-4-  
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;  
 1-benzyl-4-[[[N<sup>α</sup>-(4-carboxybenzoyl)-L-leuciny]amino]-3-  
 25 pyrrolidinone;  
 1-benzyl-4-[[[N<sup>α</sup>-(4-carboxymethyl)benzoyl]-L-leuciny]amino]-3-pyrrolidinone;  
 4-[[[N<sup>α</sup>-(4-carboxymethyl)benzoyl]-L-leuciny]amino]-1-[(2S)-4-  
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;  
 1-phenethyl-4-[[[N<sup>α</sup>-(2-amino- $\alpha$ -toluenesulphonyl)-L-leuciny]amino]-3-  
 30 pyrrolidinone;  
 4-[[[N<sup>α</sup>-(2-naphthylcarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;  
 4-[[[N<sup>α</sup>-(2-quinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;  
 4-[[[N<sup>α</sup>-(3-isoquinolinecarbonyl)-L-leuciny]amino]-1-benzoyl-3-piperidinone;  
 4-[[[(2S)-4-methyl-2-(benzyloxy)pentanoyl]-1-[(2S)-4-methyl-2-  
 35 [[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;  
 4-[[[3-(2-pyridyl)phenylacetyl]]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-  
 piperidinone;



4-[[N<sup>α</sup>-(p-trifluoromethanephensulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

4-[[N<sup>α</sup>-(2-naphthylsulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

5 4-[[N<sup>α</sup>-(3,4-dichlorophensulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

4-[[N<sup>α</sup>-(methanesulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

or

10 4-[[N<sup>α</sup>-(4-fluorophensulphonyl)-L-leuciny]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;

or a pharmaceutically acceptable salt thereof.

15 18. A pharmaceutical composition comprising a compound according to any one of claims 1 to 17 and a pharmaceutically acceptable carrier.

19. A method of inhibiting a cysteine protease which comprises administering a compound according to claim 1.

20 20. A method according to claim 19 wherein the cysteine protease is cathepsin K.

21. A method of inhibiting bone loss which comprises administering a compound according to claim 1.

25 22. A method of treating osteoporosis which comprises administering a compound according to claim 1.

30 23. A method of treating gingival or peridontal disease which comprises administering a compound according to claim 1.

24. A method of treating a disease characterized by excessive cartilage or matrix degradation which comprises administering a compound according to claim 1.

35 25. A method according to claim 24 wherein said disease is osteoarthritis or rheumatoid arthritis.

26. A compound according to any one of claims 1 to 17 for use as a medicament.

27. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases in which inhibition of a cysteine protease is a factor.

28. The use of a compound according to claim 27 wherein the cysteine protease is cathepsin K.

29. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the inhibition of bone loss.

30. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of osteoporosis.

31. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of gingival or periodontal disease.

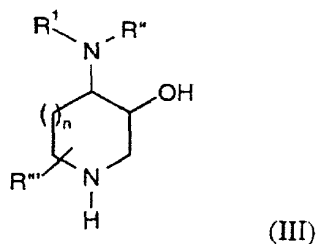
32. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases characterized by excessive cartilage or matrix degradation.

33. The use of a compound according to claim 32 wherein the disease characterized by excessive cartilage or matrix degradation is osteoarthritis or rheumatoid arthritis.

34. A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises:

(A) for compounds in which A is CH(OH):

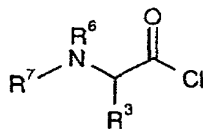
(i) reacting a compound of the formula (III):



or a salt thereof,

wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $n$  are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

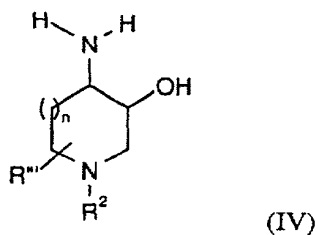
- 5 (a)  $R^5C(O)Cl$ , in which  $R^5$  is as defined in formula (I) of claim 1; or
- (b)  $R^5C(O)OH$ , in which  $R^5$  is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or
- (c)  $R^5C(O)H$ , in which  $R^5$  is as defined in formula (I) of claim 1, followed by reduction; or
- 10 (d)  $R^5OC(O)Cl$ , in which  $R^5$  is as defined in formula (I) of claim 1, in the presence of base; or
- (e)  $R^5SO_2Cl$ , in which  $R^5$  is as defined in formula (I) of claim 1, in the presence of base; or



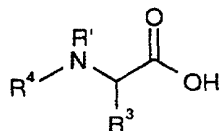
15 (f) wherein  $R^3$ ,  $R^6$  and  $R^7$  are as defined in formula (I) of claim 1; or

(g) adamantyl- $C(O)Cl$ ;

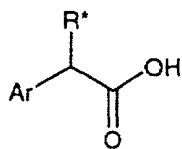
(ii) reacting a compound of the formula (IV):



wherein  $R^2$ ,  $R^3$  and  $n$  are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

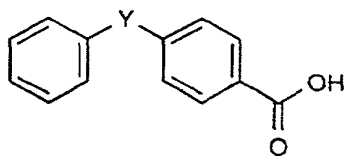


(a) , in which  $R^3$ ,  $R^4$  and  $R'$  are as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or

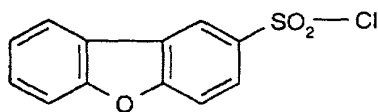


(b) , in which  $R^*$  is as defined in formula (I)

5 of claim 1, in the presence of EDC and HOBT; or

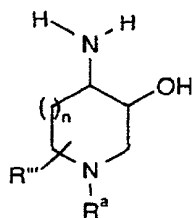


(c) , in which Y is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or



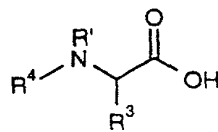
(d) ;

(iii) reacting a compound of the formula (V):



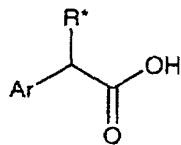
(V)

15 wherein  $R''$  and  $n$  are as defined in formula (I) of claim 1, with any reactive functional groups protected, and  $R^a$  is  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl- $C_{0-6}$ alkyl, Ar- $C_{0-6}$ alkyl, or Het- $C_{0-6}$ alkyl with:



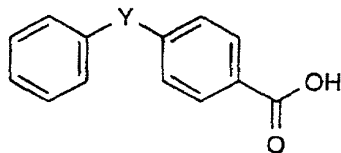
(a) , in which  $R^3$ ,  $R^4$  and  $R'$  are as defined

20 in formula (I) of claim 1, in the presence of EDC and HOBT; or



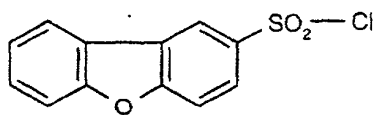
(b) , in which R\* is as defined in formula (I)

of claim 1, in the presence of EDC and HOBT; or



(c) , in which Y is as defined

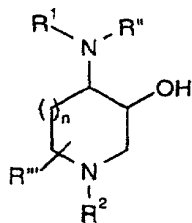
in formula (I) of claim 1, in the presence of EDC and HOBT; or



(d) ;

(B) for compounds in which A is C(O):

(i) reacting a compound of the formula (VI):



(VI)

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with an oxidizing agent;

and thereafter removing any protecting groups and optionally forming a pharmaceutically acceptable salt.